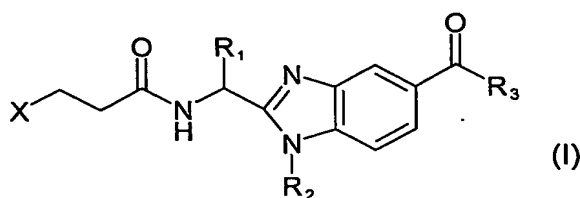


CLAIMS

1. A compound of formula (I)



or a pharmaceutically acceptable salt or ester thereof,
wherein

10 X is -CONHOH, -COOH, -OH, or -SH;

R₁ is selected from the group consisting of C₁₋₆ alkyl, C₃₋₁₀ cycloalkyl, C₁₋₆ alkylmercapto, C₁₋₆ alkylthio-C₁₋₆ alkyl, C₁₋₆ alkylhydroxy, C₁₋₆ alkylcarboxy, C₁₋₆ alkylamide, C₁₋₆ alkylamino, alkylamino-C₁₋₆alkyl, dialkylamino-C₁₋₆alkyl, C₁₋₆alkylamidine, C₁₋₆alkylguanidine, an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C₁₋₆ alkylaryl group, an unsubstituted or substituted C₁₋₆ alkylheteroaryl group and a side chains of a natural alpha amino acid;

with the proviso that R₁ cannot be hydrogen or tert-butyl;

20 R₂ is selected from the group consisting of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₃₋₁₀ cycloalkyl, C₁₋₆ alkyl-C₃₋₁₀ cycloalkyl, C₃₋₇ heterocycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylamino, C₁₋₆ alkylmercapto, C₁₋₆ alkylhydroxy, thioC₁₋₆ alkyl, alkylamino-C₁₋₆alkyl, dialkylamino-C₁₋₆alkyl, an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C₁₋₆ alkylaryl group and an unsubstituted or substituted C₁₋₆ alkylheteroaryl group;

25 R₃ is -NHCH(R₄)COR₅, -NR₆R₇, -NHR₇ or -OR₇;

R₄ is selected from the group consisting of hydrogen and a side chain of a natural alpha amino acid;

R₅ is amino, hydroxy, C₁₋₆ alkoxy or -NH-C₁₋₆alkyl;

30 R₆ and R₇ are identical or different and are independently of each other selected from the group consisting of C₃₋₇ heterocycloalkyl, an unsubstituted or substituted C₁₋₆ alkyl-C₃₋₇ heterocycloalkyl group, an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C₁₋₆ alkylaryl group and an unsubstituted or substituted C₁₋₆ alkylheteroaryl group;

wherein a substituted group is substituted with one, two or three substituents

35 independently selected from halogen, hydroxy, amino, mercapto, nitro, cyano,

trifluoromethyl, C₁₋₆ alkyl, C₁₋₆ alkoxy, thioC₁₋₆ alkyl, C₁₋₆ alkylhydroxy, C₁₋₆ alkylamino, alkylamino-C₁₋₆alkyl and dialkylamino-C₁₋₆alkyl.

2. A compound according to claim 1, wherein X is –CONHOH.

5

3. A compound according to claim 1, wherein X is –COOH.

4. A compound according to claim 1, wherein X is selected among –OH and –SH.

10 5. A compound according to any of the preceding claims, wherein R₁ is a side chain of a natural alpha amino acid such as alanine, arginine, asparagine, aspartic acid, cysteine, glutamine, glutamic acid, glycine, histidine, isoleucine, leucine, lysine, methionine, phenylalanine, serine, threonine, tryptophan, tyrosine and valine.

15 6. A compound according to any of claims 1-4, wherein R₁ is C₁₋₆ alkyl, C₃₋₁₀ cycloalkyl, C₁₋₆ alkylmercapto, C₁₋₆ alkylthio-C₁₋₆ alkyl, C₁₋₆ alkylhydroxy, C₁₋₆ alkylcarboxy, C₁₋₆ alkylamide, C₁₋₆ alkylamino, alkylamino-C₁₋₆alkyl, dialkylamino-C₁₋₆alkyl, C₁₋₆ alkylamidine, C₁₋₆alkylguanidine, an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C₁₋₆ alkylaryl group or an unsubstituted or substituted C₁₋₆ alkylheteroaryl group.

20

7. A compound according to any of claims 1-4, wherein R₁ is ethyl, isobutyl, 2-(methylsulfanyl)ethyl, 4-aminobutyl, benzyl, 4-hydroxybenzyl, 2-phenylethyl and naphth-1-yl-methyl.

25

8. A compound according to any of the preceding claims, wherein R₂ is selected from the group consisting of C₁₋₆ alkyl, C₃₋₁₀ cycloalkyl, C₁₋₆ alkyl-C₃₋₁₀ cycloalkyl, C₁₋₆ alkylamino, C₁₋₆ alkylhydroxy, an unsubstituted or substituted C₁₋₆ alkylaryl group and an unsubstituted or substituted C₁₋₆ alkylheteroaryl group, wherein a substituted group is substituted with one, two or three substituents independently selected from halogen, hydroxy, amino, mercapto, nitro, cyano, trifluoromethyl, C₁₋₆ alkyl, C₁₋₆ alkoxy, and thioC₁₋₆ alkyl.

30

9. A compound according to any of claims 1-7, wherein R₂ is selected from the group consisting of ethyl, propyl, butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclohexylmethyl, cyclohexylethyl, aminoethyl,

35

aminopropyl, aminobutyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, an phenyl, fluorosubstituted phenyl, chlorosubstituted phenyl, benzyl, fluorosubstituted benzyl, chlorosubstituted benzyl, thiophenylethyl and furanylmethyl.

- 5 10. A compound according to claim 9, wherein R_2 is butyl, cyclopropyl, cyclohexylmethyl, 2-aminoethyl, 2-hydroxyethyl, benzyl, 2-chlorobenzyl, 4-chlorobenzyl, 2,6-difluorobenzyl, 2-thiophen-2-ylethyl or furan-2-ylmethyl.
- 10 11. A compound according to any of the preceding claims, wherein R_3 is –NHCH(R_4)COR₅.
12. A compound according to any of claims 1-10, wherein R_3 is –NHR₇ or –NR₈R₇.
13. A compound according to any of claims 1-10, wherein R_3 is –OR₇.
- 15 14. A compound according to any of claims 1-11, wherein R_4 is a side chain of a natural alpha amino acid such as alanine, arginine, asparagine, aspartic acid, cysteine, glutamine, glutamic acid, glycine, histidine, isoleucine, leucine, lysine, methionine, phenylalanine, serine, threonine, tryptophan, tyrosine and valine.
- 20 15. A compound according to any of claims 1-11, 14, wherein R_4 is hydrogen.
16. A compound according to any of claims 1-11, 14-15, wherein R_5 is C₁₋₆alkoxy.
- 25 17. A compound according to any of claims 1-11, 14-16, wherein R_5 is methoxy, ethoxy, propoxy or butoxy.
18. A compound according to any of claims 1-10, 12-13, wherein R_6 or R_7 is C₃₋₇ heterocycloalkyl or an unsubstituted or substituted C₁₋₆ alkyl-C₃₋₇ heterocycloalkyl
- 30 group.
19. A compound according to any of claims 1-10, 12-13, wherein R_6 or R_7 is an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C₁₋₆ alkylaryl group or an unsubstituted or
- 35 substituted C₁₋₆ alkylheteroaryl group.

20. A compound according to claim 1 selected from the group consisting of
({1-cyclopropyl-2-[1-(3-mercapto-propionylamino)-propyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
({1-(4-chloro-benzyl)-2-[1-(3-mercapto-propionylamino)-2-phenyl-ethyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
5 N-{1-[1-benzyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-methylsulfanyl-propyl}-succinamic acid,
N-{1-[1-butyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-2-phenyl-ethyl}-succinamic acid,
10 N-{1-[1-furan-2-ylmethyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-2-phenyl-ethyl}-succinamic acid,
N-{1-[1-(4-chloro-benzyl)-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-2-phenyl-ethyl}-succinamic acid,
N-{1-[1-cyclopropyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-phenyl-propyl}-succinamic acid,
15 N-{1-[1-cyclohexylmethyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-phenyl-propyl}-succinamic acid,
N-{1-[1-(2-chloro-benzyl)-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-phenyl-propyl}-succinamic acid,
20 N-{1-[1-cyclopropyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-propyl}-succinamic acid,
N-{1-[1-furan-2-ylmethyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-propyl}-succinamic acid,
N-{1-[1-benzyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-propyl}-succinamic acid,
25 N-{1-[1-cyclopropyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-methyl-butyl}-succinamic acid,
N-{1-[1-butyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-methyl-butyl}-succinamic acid,
30 N-{1-[1-benzyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-methyl-butyl}-succinamic acid,
N-{1-[1-cyclopropyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-methylsulfanyl-propyl}-succinamic acid,
N-{1-[5-(methoxycarbonylmethyl-carbamoyl)-1-(2-thiophen-2-yl-ethyl)-1H-benzimidazol-2-yl]-2-naphthalen-1-yl-ethyl}-succinamic acid,
35

- N-{1-[1-butyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-2-naphthalen-1-yl-ethyl}-succinamic acid,
({2-[5-amino-1-(3-mercapto-propionylamino)-pentyl]-1-cyclohexylmethyl-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
5 ({1-cyclopropyl-2-[2-(4-hydroxy-phenyl)-1-(3-mercapto-propionylamino)-ethyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
({1-cyclohexylmethyl-2-[2-(4-hydroxy-phenyl)-1-(3-mercapto-propionylamino)-ethyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
({1-(2-hydroxy-ethyl)-2-[1-(3-mercapto-propionylamino)-2-phenyl-ethyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
10 N-{5-amino-1-[1-cyclopropyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-pentyl}-succinamic acid,
N-{5-amino-1-[1-cyclohexylmethyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-pentyl}-succinamic acid,
15 N-[1-[1-cyclopropyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-2-(4-hydroxyphenyl)-ethyl]-succinamic acid,
N-[1-[1-cyclohexylmethyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-2-(4-hydroxyphenyl)-ethyl]-succinamic acid,
N-{1-[1-(2-hydroxy-ethyl)-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-2-phenyl-ethyl}-succinamic acid,
20 N-{1-[1-(2-hydroxy-ethyl)-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-3-methylbutyl}-succinamic acid,
({1-cyclopropyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-propyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
25 N-{1-[1-benzyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzimidazol-2-yl]-2-naphthalen-1-yl-ethyl}-succinamic acid,
({1-furan-2-ylmethyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-propyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
({1-benzyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-propyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
30 ({1-cyclopropyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-3-methyl-butyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
({1-butyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-3-methyl-butyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
35 ({1-benzyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-3-methyl-butyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,

- ((1-cyclopropyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-3-methylsulfanyl-propyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
((1-cyclohexylmethyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-3-methylsulfanyl-propyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
5 ((1-benzyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-3-methylsulfanyl-propyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
((1-furan-2-ylmethyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-phenyl-ethyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
((1-(4-chloro-benzyl)-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-phenyl-ethyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
10 ((1-cyclopropyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-3-phenyl-propyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
((1-cyclohexylmethyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-3-phenyl-propyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
15 ((1-(2-chloro-benzyl)-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-phenyl-ethyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
{[2-[1-(3-hydroxycarbamoyl-propionylamino)-2-naphthalen-1-yl-ethyl]-1-(2-thiophen-2-yl-ethyl)-1H-benzoimidazole-5-carbonyl]-amino}-acetic acid methyl ester,
((1-butyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-naphthalen-1-yl-ethyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
20 ((1-benzyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-naphthalen-1-yl-ethyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
((1-butyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-phenyl-ethyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
25 ({2-[5-amino-1-(3-mercapto-propionylamino)-pentyl]-1-cyclopropyl-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
({2-[5-amino-1-(3-mercapto-propionylamino)-pentyl]-1-benzyl-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
({1-(2-amino-ethyl)-2-[1-(3-mercapto-propionylamino)-2-phenyl-ethyl]-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,
30 N-{5-amino-1-[1-benzyl-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzoimidazol-2-yl]-pentyl}-succinamic acid,
N-{1-[1-(2-amino-ethyl)-5-(methoxycarbonylmethyl-carbamoyl)-1H-benzoimidazol-2-yl]-2-phenyl-ethyl}-succinamic acid,
35 ({2-[5-amino-1-(3-hydroxycarbamoyl-propionylamino)-pentyl]-1-cyclopropyl-1H-benzoimidazole-5-carbonyl)-amino)-acetic acid methyl ester,

- ({2-[5-amino-1-(3-hydroxycarbamoyl-propionylamino)-pentyl]-1-cyclohexylmethyl-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
({2-[5-amino-1-(3-hydroxycarbamoyl-propionylamino)-pentyl]-1-benzyl-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
5 ({1-(2-amino-ethyl)-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-phenyl-ethyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
({1-cyclopropyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-(4-hydroxy-phenyl)-ethyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
({1-cyclohexylmethyl-2-[1-(3-hydroxycarbamoyl-propionylamino)-2-(4-hydroxy-phenyl)-ethyl]-1H-benzimidazole-5-carbonyl}-amino)-acetic acid methyl ester,
10 {[2-[1-(3-hydroxycarbamoyl-propionylamino)-2-phenyl-ethyl]-1-(2-hydroxy-ethyl)-1H-benzimidazole-5-carbonyl]-amino}-acetic acid methyl ester,
and stereoisomers thereof.
- 15 21. A compound according to any of the preceding claims, which in a PDF assay exhibits an IC_{50} value of less than 500 μM , preferably less than 100 μM , more preferably less than 50 μM , even more preferably less than 1 μM , especially less than 500 nM, particular 300 nM or less.
- 20 22. A compound according to any of the preceding claims for use in medicine.
23. A compound according to any of the preceding claims for use as a protease inhibitor.
- 25 24. A compound according to claim 23 for use as a peptide deformylase inhibitor.
25. A compound according to any of the preceding claims for use in the treatment, prophylaxis and/or diagnosis of bacterial infections fully or partly caused by an organism belonging to any of the genera *Staphylococcus*, *Enterococcus*,
30 *Streptococcus*, *Haemophilus*, *Moraxella*, *Escherichia*, *Mycobacterium*, *Mycoplasma*, *Pseudomonas*, *Chlamydia*, *Rickettsia*, *Klebsiella*, *Shigella*, *Salmonella*, *Bordetella*, *Clostridium*, *Helicobacter*, *Campylobacter*, *Legionella* and *Neisseria*.
26. A pharmaceutical composition comprising, as an active ingredient, a compound
35 according to any of the preceding claims or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.

27. A pharmaceutical composition according to claim 26 comprising a second active substance having antibacterial activity.

28. A pharmaceutical composition according to claim 26 or 27, wherein the composition is in unit dosage form comprising from about 1 µg to about 1000 mg such as, e.g., from about 10 µg to about 500 mg, from about 0.05 to about 100 mg or from about 0.1 to about 50 mg of the active substance or a pharmaceutically acceptable salt or ester thereof.

29. A pharmaceutical composition according to any of claims 26-28 for treatment of infections, the composition comprising, as an active ingredient, a compound according to any of claims 1-25 or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.

30. A pharmaceutical composition according to claim 29 for the treatment of bacterial infections fully or partly caused by an organism belonging to any of the genera *Staphylococcus*, *Enterococcus*, *Streptococcus*, *Haemophilus*, *Moraxella*, *Escherichia*, *Mycobacteria*, *Mycoplasma*, *Pseudomonas*, *Chlamydia*, *Rickettsia*, *Klebsiella*, *Shigella*, *Salmonella*, *Bordetella*, *Clostridia*, *Helicobacter*, *Campylobacter*, *Legionella* and *Neisseria*.

31. A pharmaceutical composition according to any of claims 26-30 for oral, nasal, transdermal, pulmonal or parenteral administration.

32. A method for the treatment of ailments, the method comprising administering to a subject in need thereof an effective amount of a compound according to any of claims 1-25 or a pharmaceutically acceptable salt thereof, or of a composition according to any of claims 26-31.

33. A method according to claim 32, wherein the effective amount of a compound according to any of claims 1-25 or a pharmaceutically acceptable salt or ester thereof is in the range of from about 1 µg to about 1000 mg such as, e.g., from about 10 µg to about 500 mg, from about 0.05 to about 100 mg or from about 0.1 to about 50 mg per day.

34. Use of a compound as defined in any of claims 1-25 or a pharmaceutically acceptable salt thereof for the preparation of a medicament.

35. Use of a compound as defined in any of claims 1-25 or a pharmaceutically acceptable salt thereof for the preparation of a medicament for treatment of bacterial infections.

36. Use of a as defined in any of claims 1-25 or a pharmaceutically acceptable salt thereof for the preparation of a medicament for treatment of an infection fully or partly caused by an organism belonging to the group consisting of *Staphylococcus*, *Enterococcus*, *Streptococcus*, *Haemophilus*, *Moraxella*, *Escherichia*, *Mycobacteria*, *Mycoplasma*, *Pseudomonas*, *Chlamydia*, *Rickettsia*, *Klebsiella*, *Shigella*, *Salmonella*, *Bordetella*, *Clostridia*, *Helicobacter*, *Campylobacter*, *Legionella* and *Neisseria*.

37. Use of a compound as defined in any of claims 1-25 or a pharmaceutically acceptable salt thereof for the preparation of a medicament for treatment of an infection fully or partly caused by an organism belonging to the group consisting of *Staphylococcus aureus*, *Staphylococcus epidermidis*, *Enterococcus faecium*, *Enterococcus faecalis*, *Streptococcus pneumoniae*, *Haemophilus influenzae*, *Moraxella catarrhalis*, *Escherichia coli*, *Mycobacterium tuberculosis*, *Mycobacterium ranae*, *Mycoplasma pneumoniae*, *Pseudomonas aeruginosa*, *Chlamydia*, *Rickettsiae*, *Klebsiella pneumoniae*, *Shigella flexneri*, *Salmonella typhimurium*, *Bordetella pertussis*, *Clostridia perfringens*, *Helicobacter pylori*, *Campylobacter jejuni*, *Legionella pneumophila* and *Neisseria gonorrhoeae*.